Efficient Synthesis of Spiro[2.2]pentanes and Vinylcyclopropanes using Dialkyl Sulfone Reagents as Carbene Equivalents

Department of Chemistry, University of North Carolina at Chapel-Hill
Charles R. Teeples, J.Cabell Metts, Prof. Sidney M. Wilkerson-Hill

Abstract: Spiro[2.2]pentanes have been studied for over a century, captivating synthetic and physical chemists due to their high strain and unique topology. Recently the aryl spiro[2.2]pentane scaffold has shown promise in small molecule drug leads and bioisosteres. However, synthetic strategies to access highly functionalized aryl spiropentanes are limited using state-of-the-art methods. Building on previous work, we have developed a method for the synthesis of functionalized, aryl spiro[2.2]pentanes using dialkyl sulfone reagents, which behave as nucleophilic carbene equivalents. Using this strategy, we have synthesized 11 spiropentanes from 7 different arylmethylenecyclopropanes (up to 81% isolated yield) and 4 different sulfones (up to 65% yield). Attempts to synthesize spiropentanes using cyclopropyl sulfones instead afforded vinyl cyclopropanes, possibly *via* an elimination mechanism. To date, 4 examples of vinylcyclopropanes derived from styrene starting materials have been demonstrated with this strategy (up to 65% yield).